9-18-06

L10 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:465821 CAPLUS
DOCUMENT NUMBER: 137:47211
TITLE: Substituted 2-aryl-4-arylaminopyrimidines and analogs as activators of caspases and inducers of **apoptosis**, their preparation, and the use thereof as, e.g., anticancer agents
INVENTOR(S): Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy, P. Sanjeeva; Pervin, Azra
PATENT ASSIGNEE(S): Cytovia, Inc., USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002047690	A1	20020620	WO 2001-US47498		20011212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2002028922	A5	20020624	AU 2002-28922		20011212
US 2003069239	A1	20030410	US 2001-12444		20011212
US 6716851	B2	20040406			
EP 1351691	A1	20031015	EP 2001-990048		20011212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
US 2004097503	A1	20040520	US 2003-704448		20031110
PRIORITY APPLN. INFO.:			US 2000-254581P	P	20001212
			US 2001-12444	A3	20011212
			WO 2001-US47498	W	20011212

OTHER SOURCE(S): MARPAT 137:47211
GI

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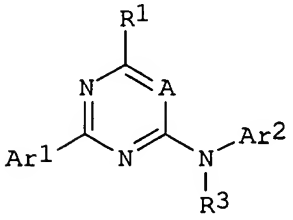
L10 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:465821 CAPLUS
DOCUMENT NUMBER: 137:47211
TITLE: Substituted 2-aryl-4-arylaminopyrimidines and analogs as activators of caspases and inducers of **apoptosis**, their preparation, and the use thereof as, e.g., anticancer agents
INVENTOR(S): Cai, Sui Xiong; Drewe, John A.; Nguyen, Bao; Reddy, P. Sanjeeva; Pervin, Azra
PATENT ASSIGNEE(S): Cytovia, Inc., USA
SOURCE: PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

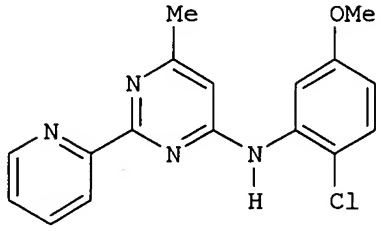
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047690	A1	20020620	WO 2001-US47498	20011212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002028922	A5	20020624	AU 2002-28922	20011212
US 2003069239	A1	20030410	US 2001-12444	20011212
US 6716851	B2	20040406		
EP 1351691	A1	20031015	EP 2001-990048	20011212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004097503	A1	20040520	US 2003-704448	20031110
PRIORITY APPLN. INFO.:			US 2000-254581P	P 20001212
			US 2001-12444	A3 20011212
			WO 2001-US47498	W 20011212

OTHER SOURCE(S): MARPAT 137:47211
GI



I



II

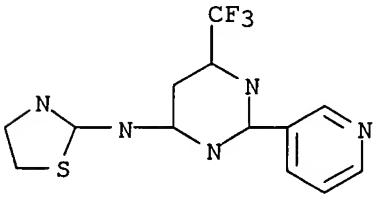
AB The invention is directed to substituted 2-aryl-4-(arylamino)pyrimidines I and analogs thereof [Ar1, Ar2 = (independently) optionally substituted aryl or heteroaryl; A = N or C-R2; R1, R2 = (independently) H, halo, haloalkyl, aryl, fused aryl, carbocyclic, heterocyclic, heteroaryl, alkyl, alkenyl, alkynyl, arylalkyl, arylalkenyl, arylalkynyl, heteroarylalkyl, heteroarylalkenyl, heteroarylalkynyl, carbocycloalkyl, heterocycloalkyl, hydroxyalkyl, nitro, amino, cyano, acylamido, OH, SH, acyloxy, N3, alkoxy, aryloxy, arylalkoxy, haloalkoxy, CO2H, carbonylamido, or alkylthio; and R3 = H, optionally substituted alkyl or cycloalkyl]. The invention also relates to the discovery that compds. I are activators of caspases and inducers of **apoptosis**. I may be used to induce cell death in a variety of clin. conditions in which uncontrolled growth and spread of abnormal cells occurs. In particular, a method of treating disorders responsive to the induction of **apoptosis**, comprising administration of I, or a pharmaceutically acceptable salt or prodrug thereof, is claimed. Over 200 specific examples of I are described. For instance, condensation of 4-chloro-6-methyl-2-(2-pyridinyl)pyrimidine with 2-chloro-5-methoxyaniline gave title compound II in 44% yield. This compound induced **apoptosis** and activated caspase cascade in human **breast cancer** cell lines T-47D and ZR-75-1. Another

compound I also showed marked selectivity for human **breast cancer** cells over other, non-**breast cancer** cell lines.

IT **438249-05-9P**, 4-[(4,5-Dihydro-2-thiazolyl)amino]-2-(3-pyridinyl)-6-(trifluoromethyl)pyrimidine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of substituted aryl(arylamino)pyrimidines and analogs as caspase activators, **apoptosis** inducers, and anticancer agents)

RN 438249-05-9 CAPLUS

CN 4-Pyrimidinamine, N-(4,5-dihydro-2-thiazolyl)-2-(3-pyridinyl)-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:472498 CAPLUS

DOCUMENT NUMBER: 139:36523

TITLE: Preparation of thiazolidinones and oxazolidinones for the inhibition of phosphatases and the treatment of **cancer**

INVENTOR(S): Pfahl, Magnus; Al-shamma, Hussien A.; Fanjul, Andrea N.; Pleynet, David P. M.; Bao, Haifeng; Spruce, Lyle W.; Cow, Christopher N.; Tachdjian, Catherine; Zapt, James W.; Wiemann, Torsten R.

PATENT ASSIGNEE(S): Maxia Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050098	A1	20030619	WO 2002-US39178	20021206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469342	AA	20030619	CA 2002-2469342	20021206
AU 2002357098	A1	20030623	AU 2002-357098	20021206
US 2004097566	A1	20040520	US 2002-313341	20021206

EP 1463718 A1 20041006 EP 2002-804747 20021206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
PRIORITY APPLN. INFO.: US 2001-337195P P 20011206
WO 2002-US39178 W 20021206
OTHER SOURCE(S): MARPAT 139:36523
GI

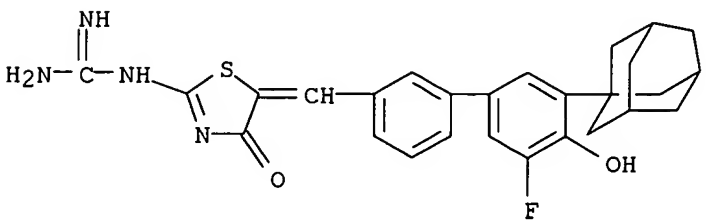
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title heterocycles I and II [wherein Ar1 = (un)substituted Ph; Ar2 = (un)substituted (hetero)aryl; R1 = H, OH, alkoxy, or (un)substituted alkyl; W = S or O; X = S or O; Y = organic radical comprising 1-15 C atoms; and pharmaceutically acceptable salts thereof] were prepared as phosphatase inhibitors. For example, 3-fluoro-4-hydroxybromobenzene was alkylated with 1-adamantanol to give 3-(adamantan-1-yl)-4-hydroxy-5-fluorobromobenzene (45%), which was O-protected with t-butyldimethylsilyl chloride (94%). Coupling with 3-formylphenylboronic acid in the presence of Na2CO3 and Pd(PPh3)4 in toluene, EtOH, and H2O afforded the substituted benzaldehyde (77%). Deprotection (80%) followed by condensation with rhodanine and reaction with morpholine in AcOH and toluene provided III (73%). Representative compds. of the invention inhibited recombinant human Cdc25A at concns. of 1 μM and 10 μM and killed significant percentages of **breast cancer**, prostate **cancer**, non-small-cell lung **cancer**, and pancreatic **cancer** cells at concns. in the range of 10-7 M to 10-5 M or higher. Thus, I, II, and pharmaceutical compns. thereof are useful in the treatment of diseases related to uncontrolled cellular **proliferation**, such as **cancer** or precancerous conditions. In addition, I and II are also useful for modulating lipid and/or carbohydrate metabolism, and treating Type II diabetes, hyperglycemia, or obesity, and for treating inflammatory diseases, such as arthritis (no data).

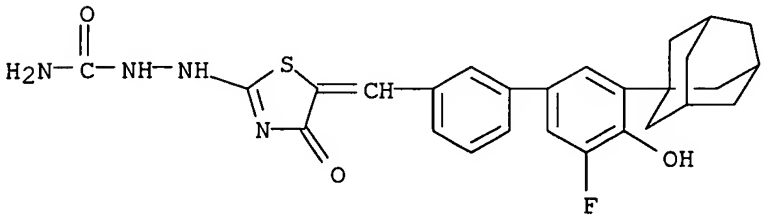
IT **544474-61-5P**, 5-[3-[3-(Adamantan-1-yl)-4-hydroxy-5-fluorophenyl]benzylidene]-2-(guanidinyl)thiazol-4-one **544474-63-7P**, 5-[3-[3-(Adamantan-1-yl)-4-hydroxy-5-fluorophenyl]benzylidene]-2-(semicarbazidyl)thiazol-4-one **544475-28-7P**, 5-[[3'-(Adamantan-1-yl)-5'-fluoro-4'-hydroxybiphenyl-3-yl]methylene]-2-(4,5-dihydrothiazol-2-ylamino)thiazol-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phosphatase inhibitor; preparation of thiazolidinone and oxazolidinone phosphatase inhibitors for treatment of **cancer**, diabetes, and inflammatory diseases)

RN 544474-61-5 CAPLUS

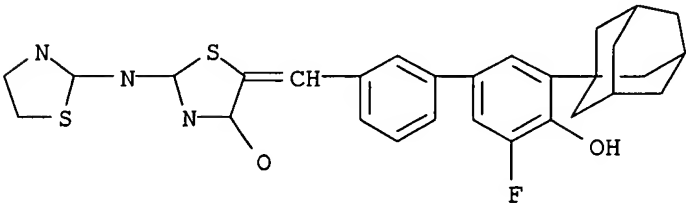
CN Guanidine, [5-[(3'-fluoro-4'-hydroxy-5'-tricyclo[3.3.1.13,7]dec-1-yl[1,1'-biphenyl]-3-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 544474-63-7 CAPLUS
CN Hydrazinecarboxamide, 2-[5-[(3'-fluoro-4'-hydroxy-5'-tricyclo[3.3.1.13,7]dec-1-yl[1,1'-biphenyl]-3-yl)methylene]-4,5-dihydro-4-oxo-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 544475-28-7 CAPLUS
CN 4(5H)-Thiazolone, 2-[(4,5-dihydro-2-thiazolyl)amino]-5-[(3'-fluoro-4'-hydroxy-5'-tricyclo[3.3.1.13,7]dec-1-yl[1,1'-biphenyl]-3-yl)methylene]- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:166709 CAPLUS
DOCUMENT NUMBER: 144:233067
TITLE: 2-Amidothiazole-based compounds as inhibitors of ATP-utilizing enzymes, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Dickson, John K., Jr.; Hodge, Carl Nicholas; Mendoza, Jose Serafin; Chen, Ke
PATENT ASSIGNEE(S): Amphora Discovery Corporation, USA
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006020767	A2	20060223	WO 2005-US28549	20050811

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006052416 A1 20060309 US 2005-202927 20050811
PRIORITY APPLN. INFO.: US 2004-601266P P 20040813
US 2004-608834P P 20040910
OTHER SOURCE(S): MARPAT 144:233067
GI

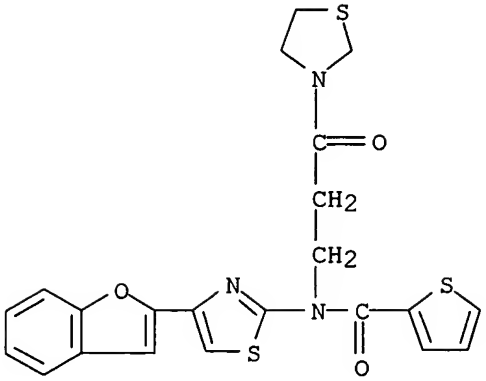
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to 2-amidothiazole compds. of formula I, which are inhibitors of ATP-utilizing enzymes, such as synthetases, ligases, and kinases. In compds. I, R is OH, alkoxy, (un)substituted amino, (un)substituted cycloalkyl, (un)substituted aryl, or (un)substituted heteroaryl; L is a bond, carbonyl, -NHC(O)-, (un)substituted C1-4 alkylene, C1-4 alkylene-NHC(O)-, or C1-4 alkylene-C(O)-; W is selected from H, halo, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl, (un)substituted aryl, and (un)substituted heteroaryl; Q is (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl, (un)substituted aryl, or (un)substituted heteroaryl; and Z is (un)substituted alkyl; with several provisos. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of a compound I, optionally one or more addnl. therapeutic agents, and at least one pharmaceutically acceptable vehicle, as well as to the use of the compns. for the treatment of conditions associated with ATP-utilizing enzymes. Addition of tert-Bu 3-aminopropanoate (β-alaninate) to N-Fmoc-isothiocyanate followed by deprotection gave thiourea II, which cyclized with 2-(bromoacetyl)benzofuran to give aminothiazole III. Amine III was acylated with thiophene-2-carbonyl chloride followed by ester cleavage and amidation with nipecotamide (piperidine-3-carboxamide), resulting in the formation of amidothiazole IV. Some compds. of the invention express IC50 values of less than 30 μM in cellular **proliferation** assays and some express EC50 values of less than 30 μM in an assay for the induction of **apoptosis** in target cells.

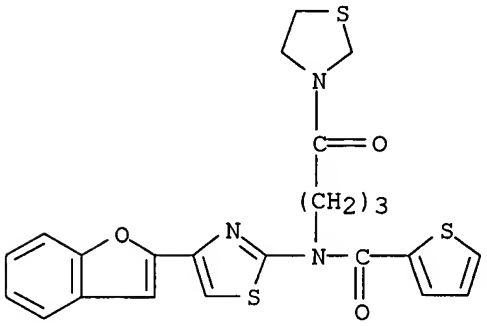
IT **876321-12-9P**, N-[4-(Benzofuran-2-yl)thiazol-2-yl]-N-[3-oxo-3-(thiazolidin-3-yl)propyl]thiophene-2-carboxamide **876321-65-2P**, N-[4-(Benzofuran-2-yl)thiazol-2-yl]-N-[4-oxo-4-(thiazolidin-3-yl)butyl]thiophene-2-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amidothiazoles as inhibitors of ATP-utilizing enzymes)

RN 876321-12-9 CAPLUS

CN 2-Thiophenecarboxamide, N-[4-(2-benzofuranyl)-2-thiazolyl]-N-[3-oxo-3-(3-thiazolidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 876321-65-2 CAPLUS
CN 2-Thiophenecarboxamide, N-[4-(2-benzofuranyl)-2-thiazolyl]-N-[4-oxo-4-(3-thiazolidinyl)butyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:41276 CAPLUS
DOCUMENT NUMBER: 140:105251
TITLE: 3,4-Dihydroisoquinolin-1-one derivatives as inducers of **apoptosis**
INVENTOR(S): Gangloff, Anthony R.; Litvak, Joane; Pararajasingham, Keith; Sperandio, David
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004727	A1	20040115	WO 2003-US21102	20030703
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003249713	A1	20040123	AU 2003-249713	20030703

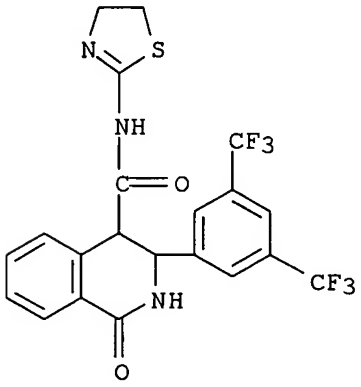
US 2005124614 A1 20050609 US 2003-485380 20030703
PRIORITY APPLN. INFO.: US 2002-394094P P 20020703
WO 2003-US21102 W 20030703

OTHER SOURCE(S): MARPAT 140:105251

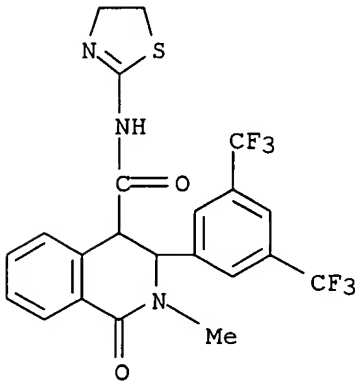
AB The invention discloses 3,4-dihydroisoquinolin-1-one derivs. that are activators of caspases and inducers of **apoptosis**, as well as pharmaceutical compns. comprising these compds., and methods for treating **cancer** using these compds. Preparation of selected compds. of the invention is included.

IT **646027-48-7 646027-50-1 646028-48-0 646028-85-5**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dihydroisoquinolinone derivs. as inducers of **apoptosis**, and use for **cancer** treatment)

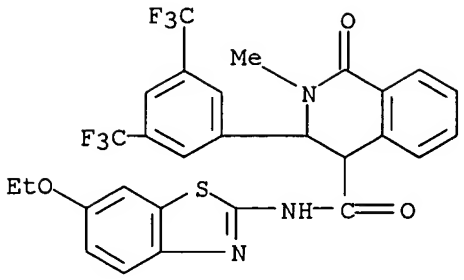
RN 646027-48-7 CAPLUS
CN 4-Isoquinolinecarboxamide, 3-[3,5-bis(trifluoromethyl)phenyl]-N-(4,5-dihydro-2-thiazolyl)-1,2,3,4-tetrahydro-1-oxo- (9CI) (CA INDEX NAME)



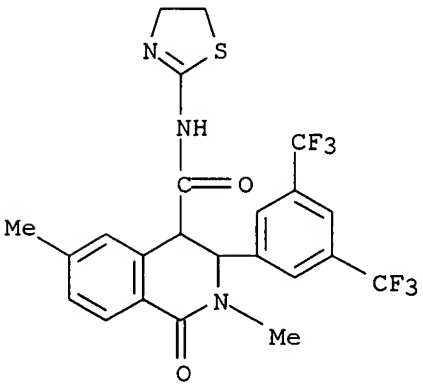
RN 646027-50-1 CAPLUS
CN 4-Isoquinolinecarboxamide, 3-[3,5-bis(trifluoromethyl)phenyl]-N-(4,5-dihydro-2-thiazolyl)-1,2,3,4-tetrahydro-2-methyl-1-oxo- (9CI) (CA INDEX NAME)



RN 646028-48-0 CAPLUS
CN 4-Isoquinolinecarboxamide, 3-[3,5-bis(trifluoromethyl)phenyl]-N-(6-ethoxy-2-benzothiazolyl)-1,2,3,4-tetrahydro-2-methyl-1-oxo- (9CI) (CA INDEX NAME)



RN 646028-85-5 CAPLUS
CN 4-Isoquinolinecarboxamide, 3-[3,5-bis(trifluoromethyl)phenyl]-N-(4,5-dihydro-2-thiazolyl)-1,2,3,4-tetrahydro-2,6-dimethyl-1-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:465536 CAPLUS
DOCUMENT NUMBER: 144:488668
TITLE: Pyridine- and pyrimidinecarboxylic acid derivatives and related compounds as IL-12 modulators and their preparation, pharmaceutical compositions, and use for treatment of various autoimmune diseases
INVENTOR(S): Sun, Lijun; Kostik, Elena; Przewloka, Teresa; Ng, Howard P.; Chimmanamada, Dinesh; Demko, Zachary
PATENT ASSIGNEE(S): Synta Pharmaceuticals Corp., USA
SOURCE: PCT Int. Appl., 246 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006053227	A2	20060518	WO 2005-US40952	20051110
WO 2006053227	A3	20060706		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,

VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-626761P P 20041110
OTHER SOURCE(S): MARPAT 144:488668
GI

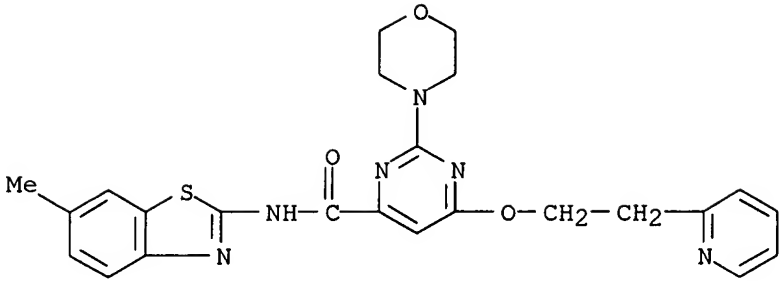
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to heterocyclic compds. of formula I, compns. including the compds. and methods of using and methods of making thereof. The compds. (and compns.) are useful, inter alia, in modulating IL-12 production and processes mediated by IL-12. Compds. of formula I wherein X and R1, taken together, are CONR'R''; X is (un)substituted (thio)carbonylamino, (un)substituted amino(thio)carbonyl, C(=NH)NH and derivs., NHC(NH) and derivs., (un)substituted amino(thio)carbonylamino, NHC(=NH)NH and derivs., etc.; R1 is R6-L-R7; R6 is (un)substituted (hetero)cycloalkyl, (un)substituted cyclyl, (un)substituted (hetero)aryl(alkyl), or absent; L is O, S, SO, SO2, NH and derivs., NHCO and derivs., CONH and derivs., COO or OCO or absent; R7 is H, (un)substituted alkyl, (un)substituted cyclyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl(alkyl) etc; Q, U, and V are independently N or CRg, wherein at least one of Q, U or V is N; R3 is Rg, CHO and derivs., (thio)formyl, (oxy)acyl, sulfanyl(thio)acyl, amino(thio)acyl, C(=NH)H and derivs., etc.; Rg, R2 and R4 are independently H, (un)substituted alkyl(carbonyl), OH and derivs., SH and derivs., NH2 and derivs., hydroxyalkyl, (thio)formyl, (oxy)(thio)acyl, sulfanyl(thio)acyl, etc.; R' and R'' are independently H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted (hetero)cyclyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl(alkyl), etc; G is hydrazide, hydrazone, hydrazine, hydroxylamine, oxime, amide, ester, carbonate, carbamate, etc; W is O, S, SO, SO2, NH and derivs., aminoacyl; m is 0-4; and their pharmaceutically acceptable salts, solvates, clathrates, hydrates, or polymorphs are claimed. Example compound II was prepared by substitution of Me 2,4-dichloropyrimidine-6-carboxylate with N-(2-hydroxyethyl)morpholine to give Me 2-chloro-6-[2-(morpholin-4-yl)ethoxy]pyrimidine-6-carboxylate, which reacted with morpholine to give Me 2-morpholino-6-[2-(morpholin-4-yl)ethoxy]pyrimidine-6-carboxylate, which underwent amidation with 5-amino-2,3-dimethylindole to give example compound II. All the invention compds. were evaluated for their IL-12 inhibitory activity. From the assay, noumerous of the invention compds. exhibited in vitro IC50 values < 1μM against human PBMC or THP-1 cells.

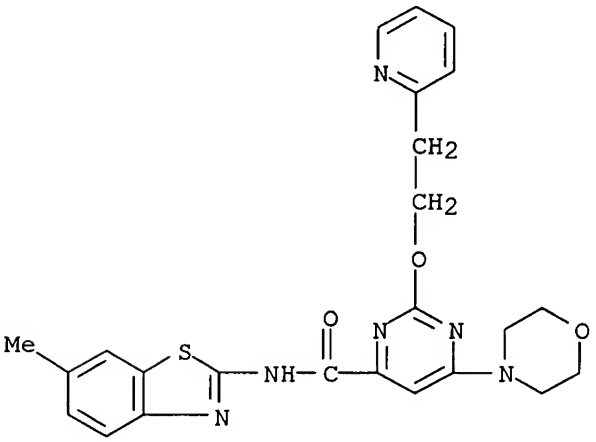
IT **887133-49-5P 887133-83-7P 887134-59-0P 887134-65-8P 887135-30-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(drug candidate; preparation of pyridine- and pyrimidinecarboxylic acid derivs. and related compds. as IL-12 modulators useful in treatment of diseases)

RN 887133-49-5 CAPLUS

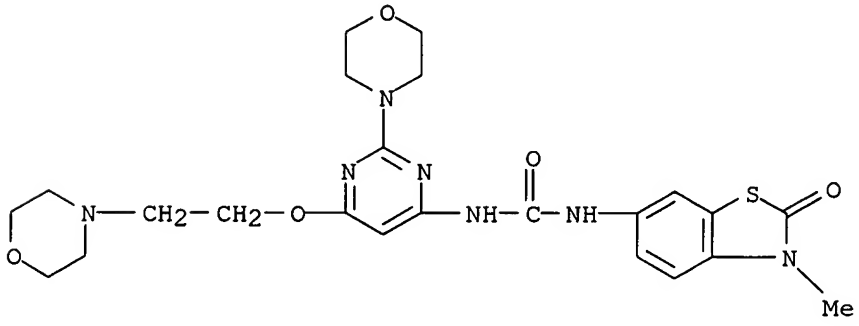
CN 4-Pyrimidinecarboxamide, N-(6-methyl-2-benzothiazolyl)-2-(4-morpholinyl)-6-[2-(2-pyridinyl)ethoxy]- (9CI) (CA INDEX NAME)



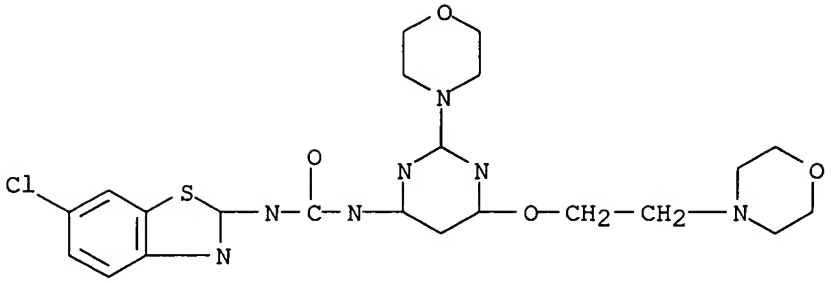
RN 887133-83-7 CAPLUS
CN 4-Pyrimidinecarboxamide, N-(6-methyl-2-benzothiazolyl)-6-(4-morpholinyl)-2-[2-(2-pyridinyl)ethoxy]- (9CI) (CA INDEX NAME)



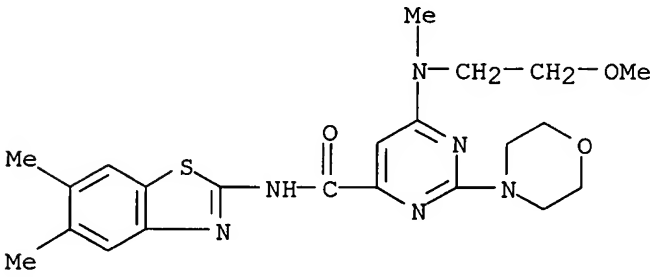
RN 887134-59-0 CAPLUS
CN Urea, N-(2,3-dihydro-3-methyl-2-oxo-6-benzothiazolyl)-N'-[2-(4-morpholinyl)-6-[2-(4-morpholinyl)ethoxy]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



RN 887134-65-8 CAPLUS
CN Urea, N-(6-chloro-2-benzothiazolyl)-N'-[2-(4-morpholinyl)-6-[2-(4-morpholinyl)ethoxy]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)



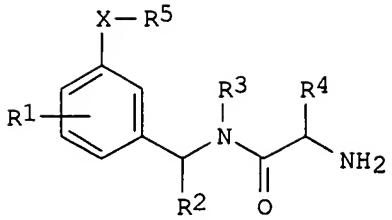
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 887135-30-0 CAPLUS
CN 4-Pyrimidinecarboxamide, N-(5,6-dimethyl-2-benzothiazolyl)-6-[(2-methoxyethyl)methylamino]-2-(4-morpholinyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:635022 CAPLUS
DOCUMENT NUMBER: 145:103950
TITLE: Preparation of amino acid derivatives as inhibitors of protein arginine methyl transferases
INVENTOR(S): Purandare, Ashok Vinayak; Chen, Zhong
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069155	A2	20060629	WO 2005-US46362	20051221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2004-637893P P 20041221
OTHER SOURCE(S): MARPAT 145:103950
GI



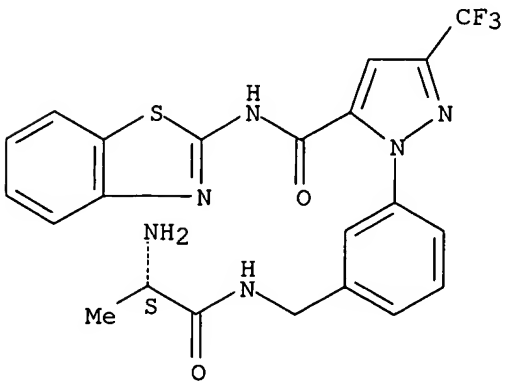
I

AB The invention relates to compds. I [X is Ph or 5-membered heteroaryl; R1 is H, halogen, CN, alkyl or substituted alkyl, alkoxy, alkylthio, or alkylsulfonyl; R2 is H or alkyl; R3 is H, Me, or Et; R4 is H, Me, Et, iso-Pr, CH2Ph, OH, or OPh; or R3 and R4 may form a 5- or 6-membered heterocycle; R5 is -W-(CH2)0-3-O0-1-R6, where W is CONH, 1,3,4-oxadiazole-2,5-diyl, etc and R6 is (un)substituted cycloalkyl, heterocyclyl, or aryl] or a stereoisomer, tautomer, or pharmaceutically-acceptable salt and their use in the treatment of hyperproliferative, inflammatory, infectious, and immunoregulatory disorders and diseases. Thus, I [R1-R3 = H, R4 = Me, R5-X = 5-(benzylcarbamoyl)-3-(trifluoromethyl)-1-pyrazolyl] was prepared from 1-(3-cyanophenyl)-3-(trifluoromethyl)-1H-pyrazole-5-carboxylic acid by hydrogenation over Pd/C, followed by amidation reactions with Boc-Ala-OSu and benzylamine. The product was assayed for inhibition of **tumor** cell **proliferation** using the 3H thymidine incorporation protocol (IC50 < 10 μM).

IT **895523-17-8P 895523-19-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as inhibitors of protein arginine Me transferases)

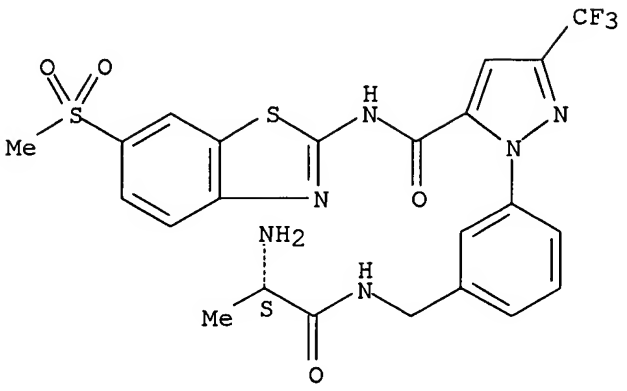
RN 895523-17-8 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-[[[(2S)-2-amino-1-oxopropyl]amino]methyl]phenyl]-N-2-benzothiazolyl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 895523-19-0 CAPLUS
CN 1H-Pyrazole-5-carboxamide, 1-[3-[[[(2S)-2-amino-1-oxopropyl]amino]methyl]phenyl]-N-[6-(methylsulfonyl)-2-benzothiazolyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:796422 CAPLUS
DOCUMENT NUMBER: 139:286390
TITLE: Platelet-activating factor antagonists as analgesic, anti-inflammatory, uterine contraction inhibiting, and anti-**tumor** agents
INVENTOR(S): Teather, Lisa A.; Wurtman, Richard J.; Magnusson, Jane E.
PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082199	A2	20031009	WO 2003-US9258	20030327
WO 2003082199	A3	20040415		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003220523	A1	20031013	AU 2003-220523	20030327
PRIORITY APPLN. INFO.:			US 2002-367488P P	20020327
			US 2002-367489P P	20020327
			WO 2003-US9258 W	20030327

AB Antagonists to platelet-activating factor provide analgesic effects as well as limit the release of inflammatory mediators. Use of these antagonists in the form of pharmaceutical compns. or nutritionals is beneficial (1) in the treatment of acute and/or chronic pain; (2) in the inhibition of inappropriate or excessive contraction of the uterus; (3) in the treatment of septic shock; and (4) in the inhibition of angiogenesis and/or **tumor** cell **proliferation**.

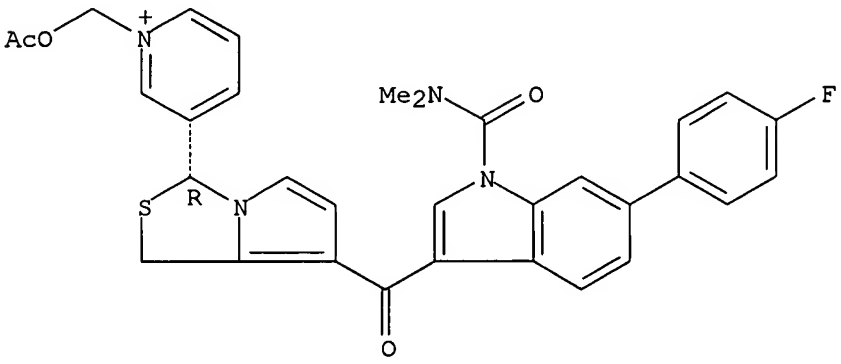
IT **161395-35-3**, ABT-299
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(platelet-activating factor antagonists as analgesic,

anti-inflammatory, uterine contraction inhibiting, and anti-**tumor** agents)

RN 161395-35-3 CAPLUS

CN Pyridinium, 1-[(acetyloxy)methyl]-3-[(3R)-7-[[1-[(dimethylamino)carbonyl]-6-(4-fluorophenyl)-1H-indol-3-yl]carbonyl]-1H,3H-pyrrolo[1,2-c]thiazol-3-yl]-, chloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● Cl^-

L10 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:591307 CAPLUS

DOCUMENT NUMBER: 139:143997

TITLE: Methods using Edg receptor modulators for the treatment of Edg receptor-associated conditions

INVENTOR(S): Shankar, Geetha; Solow-Cordero, David; Spencer, Juliet V.; Gluchowski, Charles

PATENT ASSIGNEE(S): Ceretek LLC, USA

SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062392	A2	20030731	WO 2003-US1881	20030121
WO 2003062392	A3	20050120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473740	AA	20030731	CA 2003-2473740	20030121
AU 2003214873	A1	20030902	AU 2003-214873	20030121
EP 1513522	A2	20050316	EP 2003-710713	20030121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

JP 2005519915	T2	20050707	JP 2003-562260		20030121
US 2005261298	A1	20051124	US 2003-390428		20030314
PRIORITY APPLN. INFO.:			US 2002-350445P	P	20020118
			US 2002-350446P	P	20020118
			US 2002-350447P	P	20020118
			US 2002-350448P	P	20020118
			WO 2003-US1881	W	20030121
			US 2003-352579	B2	20030127

OTHER SOURCE(S): MARPAT 139:143997

AB The invention provides a method of modulating an Edg-2, Edg-3, Ed-4 or Edg7 receptor-mediated biol. activity in a cell. A cell expressing the Edg-2, Edg-3, Edg-4 or Edg 7 receptor is contacted with a modulator of the Edg-2, Edg-3, Ed-4 or Edg 7 receptor sufficient to modulate receptor mediated biol. activity. In another aspect, the present invention provides a method for modulating an Edg-2, Edg-3, Ed-4 or Edg-7 receptor mediated biol. in a subject. A therapeutically effective amount of a modulator of the Edg-2, Edg-3, Ed-4 or Edg7 receptor is administered to the subject. Preparation of compds., e.g. 4,4,4-trifluoro-3-oxo-N-(5-phenyl-2H-pyrazol-3-yl)butyramide, is described.

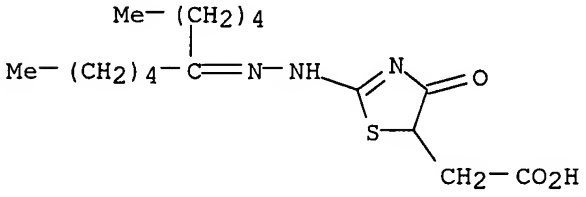
IT **312501-62-5P 331945-22-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Edg receptor modulators for treatment of Edg receptor-associated conditions)

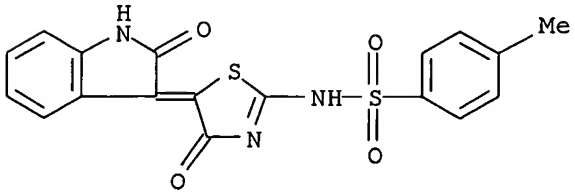
RN 312501-62-5 CAPLUS

CN 5-Thiazoleacetic acid, 4,5-dihydro-4-oxo-2-[(1-pentylhexylidene)hydrazino]- (9CI) (CA INDEX NAME)



RN 331945-22-3 CAPLUS

CN Benzenesulfonamide, N-[5-(1,2-dihydro-2-oxo-3H-indol-3-ylidene)-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (9CI) (CA INDEX NAME)



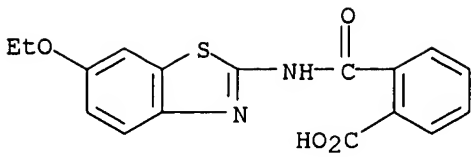
IT **312594-43-7**

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Edg receptor modulators for treatment of Edg receptor-associated conditions)

RN 312594-43-7 CAPLUS

CN Benzoic acid, 2-[[(6-ethoxy-2-benzothiazolyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1242755 CAPLUS
DOCUMENT NUMBER: 143:472565
TITLE: Methods of treating conditions associated with an Edg-7 receptor
INVENTOR(S): Solow-Cordero, David; Shankar, Geetha; Spencer, Juliet V.; Gluchowski, Charles
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of U.S. Ser. No. 352,579.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005261298	A1	20051124	US 2003-390428	20030314
WO 2003062392	A2	20030731	WO 2003-US1881	20030121
WO 2003062392	A3	20050120		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-350446P	P	20020118
WO 2003-US1881	A1	20030121
US 2003-352579	B2	20030127
US 2002-350445P	P	20020118
US 2002-350447P	P	20020118
US 2002-350448P	P	20020118

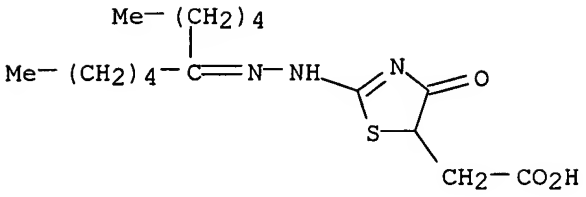
OTHER SOURCE(S): MARPAT 143:472565

AB In one aspect, the present invention provides a method for modulating an Edg-7 receptor mediated biol. activity in a cell. A cell expressing the Edg-7 receptor is contacted with a modulator of the Edg-7 receptor which is capable of modulating an Edg-7 receptor mediated biol. activity. In another aspect, the present invention provides a method for modulating an Edg-7 receptor mediated biol. activity in a subject. A therapeutically effective amount of a modulator of the Edg-7 receptor is administered to the subject.

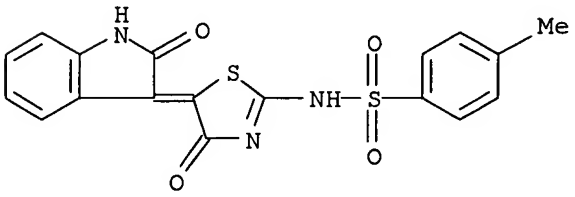
IT **312501-62-5P 331945-22-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Edg-7 modulators for treating conditions associated with Edg-7 receptor)

RN 312501-62-5 CAPLUS
CN 5-Thiazoleacetic acid, 4,5-dihydro-4-oxo-2-[(1-pentylhexylidene)hydrazino]-

(9CI) (CA INDEX NAME)



RN 331945-22-3 CAPLUS
CN Benzenesulfonamide, N-[5-(1,2-dihydro-2-oxo-3H-indol-3-ylidene)-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (9CI) (CA INDEX NAME)

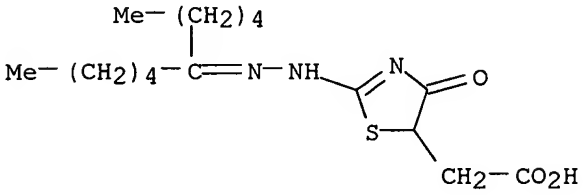


L10 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:703129 CAPLUS
DOCUMENT NUMBER: 141:218996
TITLE: Methods using Edg-7 modulators for treating conditions associated with an Edg-7 receptor
INVENTOR(S): Solow-Cordero, David; Shankar, Geetha; Spencer, Juliet V.; Gluchowski, Charles
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

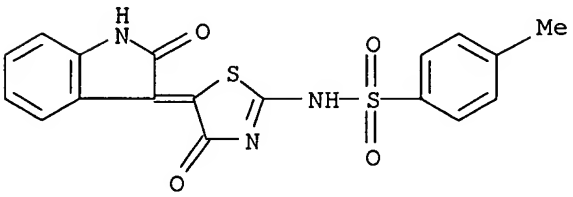
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004167192	A1	20040826	US 2004-760002		20040116
PRIORITY APPLN. INFO.:			US 2003-440321P	P	20030116
			US 2003-454881P	P	20030313

OTHER SOURCE(S): MARPAT 141:218996
AB The invention provides a method for modulating an Edg-7 receptor mediated biol. activity in a cell. A cell expressing the Edg-7 receptor is contacted with a modulator of the Edg-7 receptor which is capable of modulating an Edg-7 receptor-mediated biol. activity. The invention also provides a method for modulating an Edg-7 receptor-mediated biol. activity in a subject. A therapeutically effective amount of a modulator of the Edg-7 receptor is administered to the subject. Preparation of e.g. 4-Bromo-2-[2-(4-chlorophenylamino)-4-oxothiazolidin-5-ylidenemethyl]phenoxyacetic acid is described.
IT **312501-62-5P 331945-22-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Edg-7 modulators for treating conditions associated with an Edg-7 receptor)

RN 312501-62-5 CAPLUS
CN 5-Thiazoleacetic acid, 4,5-dihydro-4-oxo-2-[(1-pentylhexylidene)hydrazino]- (9CI) (CA INDEX NAME)



RN 331945-22-3 CAPLUS
CN Benzenesulfonamide, N-[5-(1,2-dihydro-2-oxo-3H-indol-3-ylidene)-4,5-dihydro-4-oxo-2-thiazolyl]-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:123181 CAPLUS
DOCUMENT NUMBER: 142:191337
TITLE: Platelet-activating factor antagonists as analgesic, anti-inflammatory, uterine contraction inhibiting, and anti-**tumor** agents
INVENTOR(S): Wurtman, Richard J.; Teather, Lisa A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 397,228.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005032713	A1	20050210	US 2004-890387		20040714
US 2004028756	A1	20040212	US 2003-397228		20030327
PRIORITY APPLN. INFO.:			US 2002-367488P	P	20020327
			US 2003-397228	A2	20030327
			US 2002-367489P	P	20020327

AB Antagonists to platelet-activating factor provide analgesic effects as well as limit the release of inflammatory mediators. Use of these antagonists in the form of pharmaceutical compns. or nutritionals is beneficial (1) in the treatment of acute and/or chronic pain; (2) in the inhibition of inappropriate or excessive contraction of the uterus; (3) in the treatment of septic shock; and (4) in the inhibition of angiogenesis and/or **tumor** cell **proliferation**. Intra-hippocampal injection of BN 52021, but not BN 50730, decreased nociceptive behavior during the tonic or late phase of the formalin test, showing that cell surface, but not intracellular, PAF binding sites mediate inflammatory-based nociception in the brain. By contrast, when PAF

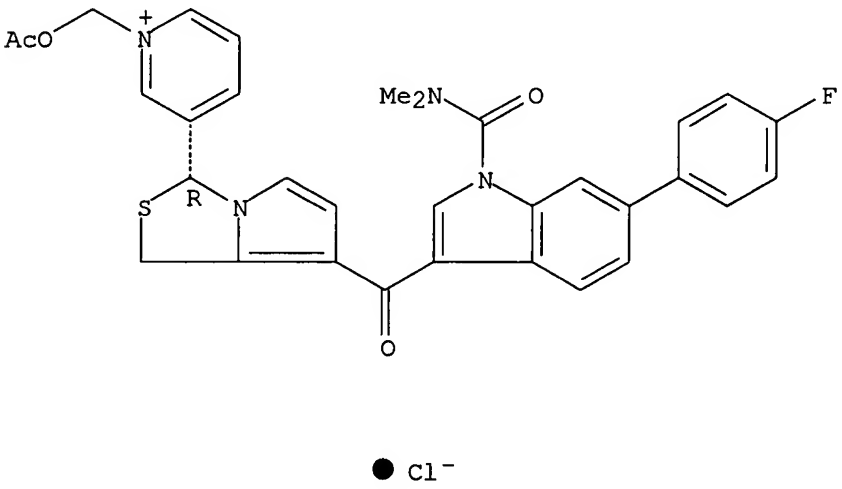
inhibitors were injected intrathecally (into the spinal cord), BN 50730, but not BN 52021, decreased the nociceptive response. These findings show that intracellular, but not cell surface, PAF binding sites mediate inflammatory-based nociception in the spinal cord.

IT **161395-35-3**, ABT-299
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(platelet-activating factor antagonists as analgesic, anti-inflammatory, uterine contraction inhibiting, and antitumor agents)

RN 161395-35-3 CAPLUS

CN Pyridinium, 1-[(acetyloxy)methyl]-3-[(3R)-7-[[1-[(dimethylamino)carbonyl]-6-(4-fluorophenyl)-1H-indol-3-yl]carbonyl]-1H,3H-pyrrolo[1,2-c]thiazol-3-yl]-, chloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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     FILE 'REGISTRY' ENTERED AT 06:03:58 ON 18 SEP 2006
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L4          58358 S L2 AND (THIAZOLYL OR THIAZOLE)

     FILE 'CAPLUS' ENTERED AT 06:06:16 ON 18 SEP 2006
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L7              3 S L6 AND (EDG)
L8             73 S L6 AND (PROLIFERATION OR APOPTOSIS)
L9             11 S L8 AND (OVARIAN OR EPITHELIAL OR BREAST )
L10            11 FOCUS L9 1-

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